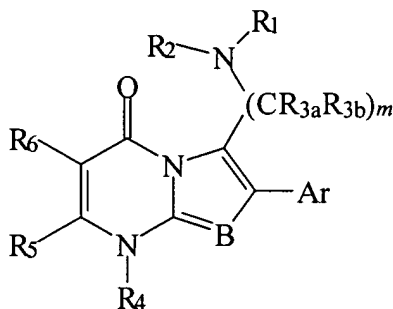


Claims

We claim:

1. A compound having the following structure:



and stereoisomers, prodrugs and pharmaceutically acceptable salts thereof,

wherein:

m is an integer from 1 to 6;

R_1 is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, aryl($CR_{3c}R_{3d}$) $_n$, substituted aryl($CR_{3c}R_{3d}$) $_n$, heteroaryl($CR_{3c}R_{3d}$) $_n$ or substituted heteroaryl($CR_{3c}R_{3d}$) $_n$;

R_2 is hydrogen, alkyl or substituted alkyl;

or R_1 and R_2 taken together with the nitrogen atom to which they are attached form a heterocycle ring or a substituted heterocycle ring;

R_{3a} , R_{3b} , R_{3c} and R_{3d} are the same or different and independently at each occurrence hydrogen, alkyl, substituted alkyl, hydroxy, alkoxy, thioalkyl, amino, alkylamino, dialkylamino, cyano, halogen, $-C(=O)OR_7$ or $-C(=O)NR_7R_8$;

or R_{3a} and R_{3b} , or R_{3c} and R_{3d} , taken together with the carbon atom to which they are attached form a carbocyclic ring or substituted carbocyclic ring;

or R_{3a} and R_1 , taken together with the carbon atom and nitrogen atom, respectively, to which they are attached form a heterocyclic ring or substituted heterocyclic ring;

R₄ is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl or substituted heteroarylalkyl;

R₅ is hydrogen, halogen, cyano, alkyl, substituted alkyl, hydroxy, alkoxy, thioalkyl or mono- or di-alkylamine;

R₆ is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, heterocycle, substituted heterocycle, -OR₇, -SR₇, -SOR₇, -SO₂R₇, -OSO₂R₇, -SO₂OR₇, -SO₂NR₇R₈, -NR₉SO₂R₇, -C(=O)R₇, -C(=O)OR₇, -OC(=O)R₇, -NR₇R₈ -C(=O)NR₇R₈, -OC(=O)NR₇R₈, -NR₉C(=O)R₇, -NR₉C(=O)NR₇R₈, -NR₈C(=O)OR₇ or -C(OH)R₇R₈;

R₇, R₈ and R₉ are the same or different and independently hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl or substituted heteroarylalkyl;

or R₇ and R₈ taken together with the nitrogen atom to which they are attached form a heterocycle ring or a substituted heterocycle ring;

n is an integer from 1 to 6; and

B and Ar are as follows:

B is nitrogen or CR₁₀ when Ar is heteroaryl or substituted heteroaryl and R₁₀ is hydrogen; or

B is CR₁₀ when Ar is aryl, substituted aryl, heteroaryl or substituted heteroaryl and R₁₀ is halogen, cyano, nitro, amino, mono- or di-alkylamino or alkyl.

2. The compound of claim 1 wherein

B is nitrogen or CR₁₀;

R₁₀ is hydrogen; and

Ar is heteroaryl or substituted heteroaryl.

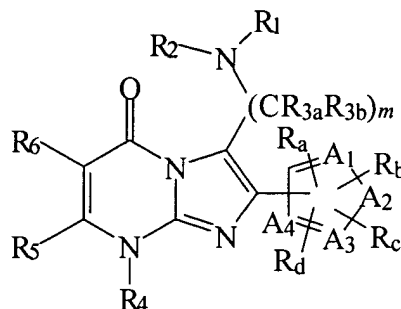
3. The compound of claim 1 wherein

B is CR₁₀;

R₁₀ is halogen, cyano, nitro, amino, mono- or di-alkylamino or alkyl; and

Ar is aryl, substituted aryl, heteroaryl or substituted heteroaryl.

4. The compound of claim 2 wherein B is nitrogen and Ar is heteroaryl.
5. The compound of claim 4 having the following structure:



wherein

A_1 , A_3 and A_4 are the same or different and independently nitrogen or CH;

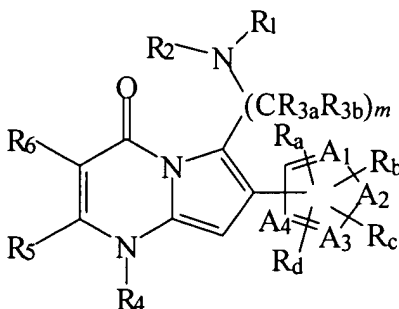
A_2 is oxygen, sulfur, NH, N=N or N=CH; and

R_a , R_b , R_c and R_d are optional substituents that are the same or different and independently halogen, nitro, cyano, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, hydroxy, alkoxy, aryloxy, thiol, thioalkyl, thioaryl, sulfonylalkyl, sulfonylaryl, amino, mono- or di-alkylamino, mono- or di-arylamino, -COOalkyl, -COOaryl, -CONHalkyl, -CONHaryl, -CON(alkyl)₂, -CON(aryl)₂, -NHCOalkyl, -NHCOaryl, -N(alkyl)COalkyl, -N(alkyl)COaryl, -NHSO₂alkyl, -NHSO₂aryl, N(alkyl)SO₂alkyl, -N(alkyl)SO₂aryl, -NHCONHalkyl or -NHCONHaryl;

or R_a and R_b taken together with the atoms to which they are attached form aryl, substituted aryl, heteroaryl or substituted heteroaryl.

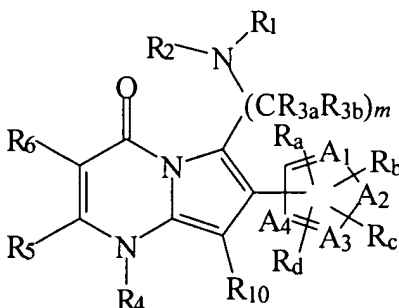
6. The compound of claim 2 wherein B is CR₁₀, Ar is heteroaryl, and R₁₀ is hydrogen.

7. The compound of claim 6 having the following structure:



8. The compound of claim 3 wherein B is CR₁₀ and Ar is heteroaryl.

9. The compound of claim 8 having the following structure:



10. The compound of claim 1 wherein R₁ is arylalkyl, substituted arylalkyl or heteroarylalkyl.

11. The compound of claim 10 wherein aralkyl is benzyl and substituted arylalkyl is substituted benzyl.

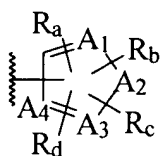
12. The compound of claim 10 wherein heteroarylalkyl is -CH₂(heteroaryl) or -CH₂CH₂(heteroaryl).

13. The compound of claim 1 wherein R₂ is alkyl.

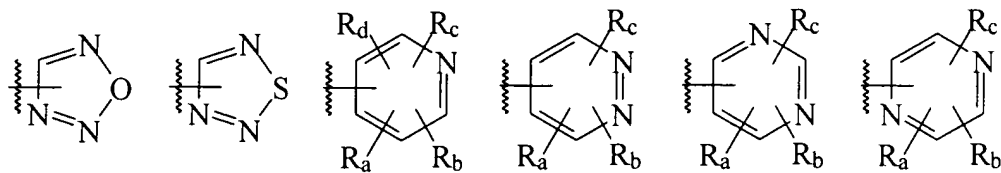
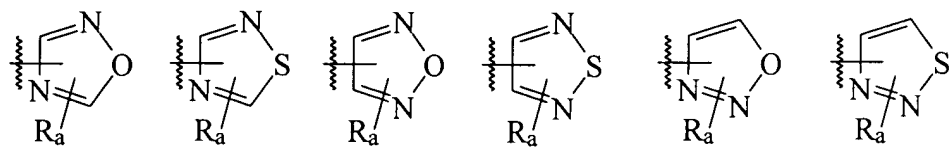
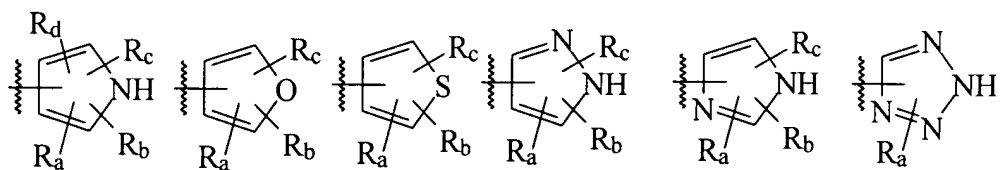
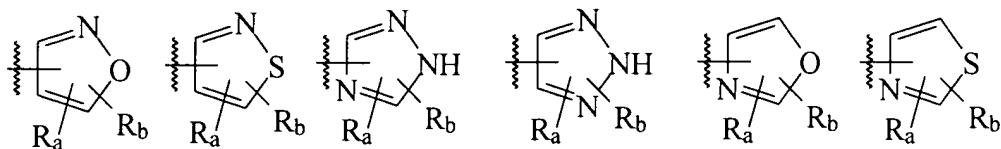
14. The compound of claim 13 wherein alkyl is methyl.
15. The compound of claim 1 wherein R_1 and R_2 taken together with the nitrogen atom to which they are attached form a heterocycle or substituted heterocycle.
16. The compound of claim 1 wherein R_{3a} is hydrogen.
17. The compound of claim 1 wherein R_{3b} is hydrogen.
18. The compound of claim 16 wherein R_{3b} is hydrogen.
19. The compound of claim 1 where m is 1.
20. The compound of claim 1 wherein R_4 is arylalkyl or substituted arylalkyl.
21. The compound of claim 14 wherein arylalkyl or substituted arylalkyl is benzyl or substituted benzyl.
22. The compound of claim 1 wherein R_5 is hydrogen.
23. The compound of claim 1 wherein R_6 is $-C(=O)OR_7$.
24. The compound of claim 23 wherein R_7 is alkyl.
25. The compound of claim 1 wherein R_6 is $-C(=O)NR_7R_8$.
26. The compound of claim 13 wherein R_7 and R_8 are the same or different and independently alkyl or substituted alkyl.

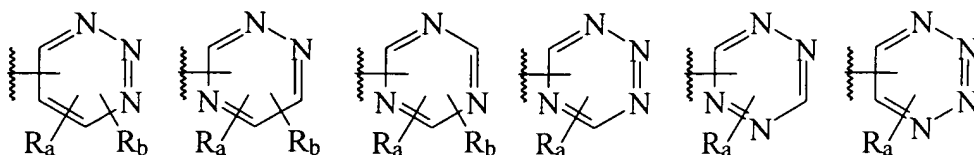
27. The compound of claim 25 wherein R_7 and R_8 taken together with the nitrogen atom to which they are attached form a heterocycle or substituted heterocycle.

28. The compound of any one of claims 5, 7 or 9 wherein the heteroaryl moiety



has one of the following structures:





29. The compound of claim 1 wherein R_{10} is halogen or cyano.

30. The compound of claim 1 wherein the compound is:

2-(2,5-Dimethylfuran-3-yl)-3-[N-methyl-(2-pyridylethyl)]aminomethyl-5-(3-pentoxycarbonyl)-7-(2-fluorobenzyl)imidazolo[1,2-a]pyrimid-4-one;

2-(1-Methylpyrrol-3-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

2-(Thiophen-2-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

2-(2,5-Dimethylfur-3-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

2-(Pyrid-3-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(2,5-dimethylfuran-3-yl)-4-(2-fluorobenzyl)-6-(3-pentoxycarbonyl)pyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-cyanobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-methoxybenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2,4-difluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-isobutoxyphenyl)-3-cyano-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(2,5-dimethylfuran-3-yl)-4-(2-fluorobenzyl)-6-(3-pentoxycarbonyl)pyrrolo[1,2-a]pyrimid-7-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(2,5-dimethylfuran-3-yl)-4-(2-fluorobenzyl)-6-(3-pentoxycarbonyl)imidazolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-isobutoxyphenyl)-3-fluoro-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one; or

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(4-isobutoxyphenyl)-3-fluoro-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one.

31. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

32. A method for antagonizing gonadotropin-releasing hormone in a subject in need thereof, comprising administering to the subject an effective amount of the compound of claim 1.

33. A method for treating a sex-hormone related condition of a subject in need thereof, comprising administering to the subject an effective amount of the pharmaceutical composition of claim 31.

34. The method of claim 33 wherein the sex-hormone related condition is cancer, benign prostatic hypertrophy or myoma of the uterus.

35. The method of claim 34 wherein the cancer is prostatic cancer, uterine cancer, breast cancer or pituitary gonadotroph adenomas.

36. The method of claim 33 wherein the sex-hormone related condition is endometriosis, polycystic ovarian disease, uterine fibroids or precocious puberty.

37. A method for preventing pregnancy of a subject in need thereof, comprising administering to the subject an effective amount of the pharmaceutical composition of claim 31.

38. A method for treating lupus erythematosus, irritable bowel syndrome, premenstrual syndrome, hirsutism, short stature or sleep disorders in a subject in need thereof, comprising administering to the subject an effective amount of the pharmaceutical composition of claim 31.